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A1

- [illegible]



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A is either a direct link,  $(\text{CH}_2)_k$  with  $k$  being an integer from 0 to 30, or O;

$$\begin{array}{c} \text{O} \\ || \\ -\text{C}-, \text{ or } -\text{NR}^2-; \end{array}$$

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R<sup>1</sup>, for each of X<sup>1</sup>, X<sup>2</sup>, or X<sup>3</sup>, is independently hydrogen, a straight or branched-chain C1 to C30 alkyl, a straight or branched-chain C2 to C30 alkenyl, an aromatic or heteroaromatic ring with or without mono-, di-, or tri-

$\text{---CH=NH}$  ,  $\text{---N(R}^7\text{)(R}^8\text{)---}$  ,  $\text{---N(R}^7\text{)(R}^8\text{)---}$  ,  $\text{---C(=NR}^8\text{)NH---R}^7$  ,  
 $\text{---C(=O)NH---R}^7$  ,  $\text{---C(=S)NH---R}^7$  , or  $\text{---C(=O)O---R}^7$  ; and

wherein the compound of formula (I) is not lysophosphatidic acid, phosphatidic acid, cyclic phosphatidic acid, alkenyl glycerolphosphate, dioctyl glycerol pyrophosphate, or N-palmitoyl-L-serine.

2. The compound according to claim 1, wherein  
 $Q^1$  and  $Q^2$  are both  $H_2$ ;  
 one of  $X^1$ ,  $X^2$ , and  $X^3$  is  $(HO)_2PO-Z^2-P(OH)O-Z^2-$ , with  
 $Z^1$  and  $Z^2$  being O; and  
 two of  $X^1$ ,  $X^2$ , and  $X^3$  are  $R^1-Y^1-A-$ , with A being a direct  
 link and  $Y^1$  being O for each.

3. The compound according to claim 1, wherein  
Q<sup>1</sup> is H<sub>2</sub>;  
Q<sup>2</sup> is =O;  
X<sup>1</sup> is (HO)<sub>2</sub>PO—Z<sup>1</sup>—, with Z<sup>1</sup> being O; and  
5 X<sup>2</sup> and X<sup>3</sup> are R<sup>1</sup>—Y<sup>1</sup>—A—, with A being a direct link and Y<sup>1</sup>  
being —NH— for each.

4. The compound according to claim 3, wherein X<sup>3</sup> is —NH<sub>2</sub> and  
X<sup>2</sup> is —NHR<sup>1</sup> with R<sup>1</sup> being a C14 to C18 alkyl.

5. The compound according to claim 4, wherein R<sup>1</sup> is a C14 alkyl.

6. The compound according to claim 4, wherein R<sup>1</sup> is a C18 alkyl.

7. The compound according to claim 3, wherein  
X<sup>3</sup> is —NHR<sup>1</sup> with R<sup>1</sup> being an acetyl group and  
X<sup>2</sup> is —NHR<sup>1</sup> with R<sup>1</sup> being a C14 alkyl.

8. The compound according to claim 1, wherein  
Q<sup>1</sup> is =NR<sup>4</sup>;  
Q<sup>2</sup> is H<sub>2</sub>;  
X<sup>1</sup> and X<sup>2</sup> are linked together as —O—PO(OH)—O—; and  
X<sup>3</sup> is R<sup>1</sup>—Y<sup>1</sup>—A—, with A being a direct link and Y<sup>1</sup> being  
—NH—.

9. The compound according to claim 1, wherein  
Q<sup>1</sup> and Q<sup>2</sup> are both H<sub>2</sub>;  
two of X<sup>1</sup>, X<sup>2</sup>, and X<sup>3</sup> are (HO)<sub>2</sub>PO—Z<sup>1</sup>—, with Z<sup>1</sup> being O;  
and  
one of X<sup>1</sup>, X<sup>2</sup>, and X<sup>3</sup> is R<sup>1</sup>—Y<sup>1</sup>—A—, with A being a direct  
link and Y<sup>1</sup> being —O—.

10. The compound according to claim 9, wherein R<sup>1</sup> is an acyl including a C21 alkyl.

11. The compound according to claim 9, wherein R<sup>1</sup> is a C18 alkyl.

12. A pharmaceutical composition comprising:  
a pharmaceutically-acceptable carrier and  
a compound according to claim 1.

10 13. A method of inhibiting LPA activity of an LPA receptor comprising:  
providing a compound according to claim 1 which has activity as an LPA receptor antagonist and  
contacting an LPA receptor with the compound under  
15 conditions effective to inhibit LPA-induced activity of the LPA receptor.

14. The method according to claim 13, wherein the LPA receptor is present on a cell and said contacting is carried out *in vitro*.

20 15. The method according to claim 13, wherein the LPA receptor is present on a cell and said contacting is carried out *in vivo*.

16. The method according to claim 13, wherein the LPA receptor is selected from the group consisting of EDG-2, EDG-4, EDG-7, and PSP-24.

25 17. A method of modulating LPA receptor activity comprising:  
providing a compound according to claim 1 which has activity as either an LPA receptor agonist or an LPA receptor antagonist and  
contacting an LPA receptor with the compound under  
30 conditions effective to modulate the activity of the LPA receptor.

18. The method according to claim 17, wherein the LPA receptor is present on a cell and said contacting is carried out *in vitro*.

19. The method according to claim 17, wherein the LPA receptor is present on a cell and said contacting is carried out *in vivo*.

5 20. The method according to claim 17, wherein the LPA receptor is selected from the group consisting of EDG-2, EDG-4, EDG-7, and PSP-24.

10 21. The method according to claim 17, wherein the compound has activity as an LPA receptor agonist and said contacting is carried out under conditions effective to induce LPA receptor activity.

15 22. The method according to claim 17, wherein the compound has activity as an LPA receptor antagonist and said contacting is carried out under conditions effective to reduce LPA receptor activity.

20 23. A method of treating cancer comprising:  
providing a compound according to claim 1 and  
administering an effective amount of the compound to a patient  
in a manner effective to treat cancer.

25 24. The method according to claim 23, wherein the cancer is prostate cancer or ovarian cancer.

30 25. The method according to claim 23, wherein the compound is an LPA receptor antagonist and said administering comprises:  
delivering the compound to cancer cells, where the compound binds to LPA receptors to inhibit proliferation or metastasis of the cancer cells.

26. The method according to claim 23, wherein upon delivering the compound to cancer cells, the cancer cells are destroyed.

27. A method of enhancing cell proliferation comprising:  
providing a compound according to claim 1 which has activity  
as an agonist of an LPA receptor and  
contacting the LPA receptor on a cell with the compound in a  
manner effective to enhance LPA receptor-induced proliferation of the cell.

28. The method according to claim 27, wherein the LPA receptor is  
selected from the group consisting of EDG-2, EDG-4, EDG-7, and PSP-24..

29. The method according to claim 27, wherein the cell is *in vitro*.

30. The method according to claim 27, wherein the cell is *in vivo*.

31. A method of treating a wound comprising:  
providing a compound according to claim 1 which has activity  
as an agonist of an LPA receptor and  
delivering an effective amount of the compound to a wound site,  
where the compound binds to LPA receptors on cells that promote healing of the  
wound, thereby stimulating LPA receptor agonist-induced cell proliferation to promote  
wound healing.

32. The method according to claim 31, wherein said delivering  
comprises:

introducing to the wound site a composition comprising the  
compound and a pharmaceutically acceptable carrier.

33. The method according to claim 32, wherein the wound site is  
external and said introducing comprises:

topically applying the composition to the wound site.

34. A method of making a compound according to claim 1 comprising:

reacting  $(Y^2O)_2PO-Z^{11}-Z^{13}$  or  $(Y^2O)_2PO-Z^{12}-P(OH)O-Z^{11}-Z^{13}$ ,

where

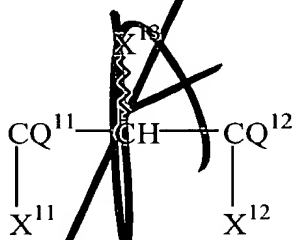
$Z^{11}$  is  $-(CH_2)_m-$  or  $-O(CH_2)_m-$  with  $m$  being an integer from 1 to 50,  $-C(R^3)H-$ , or  $-O-$ ;

$Z^{12}$  is  $-(CH_2)_n-$  or  $-O(CH_2)_n-$  with  $n$  being an integer from 1 to 50 or  $-O-$ ;

$Z^{13}$  is H or a first leaving group or  $-Z^{11}-Z^{13}$  together form the first leaving group; and

$Y^2$  is H or a protecting group,

with an intermediate compound according to formula (VI)



(VI).

where,

at least one of  $X^{11}$ ,  $X^{12}$ , and  $X^{13}$  is  $R^{11}-Y^{11}-A-$  with each being the same or different when two of  $X^{11}$ ,  $X^{12}$ , and  $X^{13}$  are  $R^{11}-Y^{11}-A-$ , or  $X^{12}$  and  $X^{13}$  are linked together as  $-N(H)-C(O)-N(R^{11})-$ ;

at least one of  $X^{11}$ ,  $X^{12}$ , and  $X^{13}$  is OH, NH<sub>2</sub>, SH, or a second leaving group;

optionally, one of  $X^{11}$ ,  $X^{12}$ , and  $X^{13}$  is H;

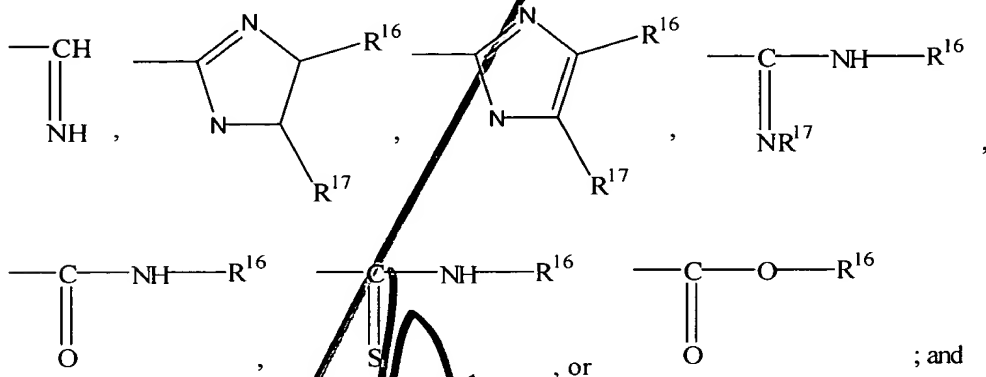
A is either a direct link,  $(CH_2)_k$  with  $k$  being an integer from 0 to 30, or O;

$Y^{11}$  is  $-(CH_2)_l-$  with  $l$  being an integer from 1 to 30,  $-O-$ ,

$\begin{array}{c} O \\ || \\ -C- \end{array}$ ,  $-S-$ , or  $-NR^{12}-$ ;

$Q^1$  and  $Q^2$  are independently H<sub>2</sub>,  $=NR^{13}$ ,  $=O$ , a combination of H and  $-NR^{14}R^{15}$ ;

$R^{11}$ , for each of  $X^{11}$ ,  $X^{12}$ , or  $X^{13}$ , is independently hydrogen, a straight or branched-chain C1 to C30 alkyl, a straight or branched-chain C2 to C30 alkenyl, an aromatic or heteroaromatic ring with or without mono-, di-, or tri-substitutions of the ring, an acyl including a C1 to C30 alkyl or an aromatic or heteroaromatic ring, an arylalkyl including straight or branched-chain C1 to C30 alkyl, an aryloxyalkyl including straight or branched-chain C1 to C30 alkyl,



$R^{12}$ ,  $R^{13}$ ,  $R^{14}$ ,  $R^{15}$ ,  $R^{16}$ , and  $R^{17}$  are independently hydrogen, a straight or branched-chain C1 to C30 alkyl, a straight or branched-chain C2 to C30 alkenyl, an aromatic or heteroaromatic ring with or without mono-, di-, or tri-substitutions of the ring, an acyl including a C1 to C30 alkyl or aromatic or heteroaromatic ring, an arylalkyl including straight or branched-chain C1 to C30 alkyl, or an aryloxyalkyl including straight or branched-chain C1 to C30 alkyl;

followed by a de-protection step, if necessary, with both said reacting and the deprotection step being performed under conditions effective to afford a compound according to formula (I) where one or two of  $X^1$ ,  $X^2$ , and  $X^3$  is  $(\text{HO})_2\text{PO---Z}^1\text{---}$  or  $(\text{HO})_2\text{PO---Z}^2\text{---P(OH)O---Z}^1\text{---}$ .